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(54) Title: WATER-IN-OIL EMULSION COMPOSITIONS		
(57) Abstract		
<p>Water-in-oil emulsions having a petrolatum base are provided which display superior qualities for use as lip balm compositions. The emulsions contain up to about 5 weight percent water and are preferably formulated as microemulsions. The compositions preferably also contain a wax, an oil, and a humectant component.</p>		

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WATER-IN-OIL EMULSION COMPOSITIONS

This application is a continuation-in-part of U.S. application S.N. 08/058,556 filed May 6, 1993.

Field of the Invention

5 The present invention relates to water-in-oil emulsion systems having a petrolatum base. More specifically, the emulsion systems contain a blend of petrolatum and waxes and are used as lip balm formulations.

Background of the Invention

10 Lip balm products are commonly used by individuals who suffer from dry or chapped lips. The conditions for causing chapped lips varies widely, and common factors include exposure of the lips to wind, sun, or dry humidity environments.

15 Treatment for chapped lips commonly requires application of petrolatum-based lip balm compositions. However, these petrolatum compositions are characterized as being short lasting and require repeated applications for extended protection against chapped lips. Certain lip balms
20 are formulated with lipophilic active agents which are solubilized in the lipophilic base constituents of the lip balms.

 A need exists in the art to provide a lip balm composition which is characterized as being long-lasting.
25 The lip balm should provide the requisite occlusivity effects and also be smooth upon application. It is a further need to provide a lip balm composition which is capable of containing a hydrophilic active agent in solubilized form.

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Summary of the Invention

The present invention provides both water-in-oil (w/o) emulsion compositions containing petrolatum and methods for using the compositions. The emulsions can be formulated with either a solubilized hydrophilic or lipophilic, or both, biologically, and preferably therapeutically, active material. The emulsion compositions are preferably employed as lip-balm compositions; however, the compositions can also be used for the treatment of topical indications. The emulsion compositions have been found to produce superior lip balms which are characterized by providing protection to the lips for a longer period of time than petrolatum based compositions that are not emulsion systems.

The w/o emulsion compositions of the present invention contain up to about 5 weight percent water, from about 25 to about 85 weight percent petrolatum, from about 0.1 to about 10 weight percent of a surfactant component, the surfactant component being present in an amount sufficient to form a w/o emulsion, and from about 15 to about 40 weight percent of a wax component. The emulsion also preferably contains an oil and a humectant.

Detailed Description of the Invention

The present invention concerns water-in-oil (w/o) emulsion compositions. Emulsions are defined as compositions which contain water (or aqueous phase), a lipid (referred to as "oil") phase, and a surfactant mixture such that the aqueous phase is a discontinuous phase within the continuous lipid phase. In a preferred embodiment, the emulsion is a microemulsion and the particle size of the aqueous phase is below about 200 nanometers, preferably from about 10 to about 150 nanometers, more preferably from about 25 to about 100 nanometers. The w/o microemulsion compositions can be recognized by such simple tests as (1) visual observation - presence of a transparent stable system; (2) dye solubilization - a water soluble dye will remain in its original form in a w/o microemulsion; (3) conductivity analysis - w/o microemulsions do not conduct electricity

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well. The emulsion compositions of the present invention display superior qualities for use as lip balm formulations and are retained on the lips for an extended period of time.

The water content of the w/o emulsion composition is up to about 5 weight percent, preferably from about 0.1 to about 3 weight percent, and more preferably from about 0.25 to about 2 weight percent.

The w/o emulsion compositions of the present invention preferably also contain one or more humectants that can improve the retention of the water of the emulsion. Humectants include glycerin, propylene glycol, polyethylene glycol, gelatin, collagen, methyl glucose ethoxylates and propoxylates. The preferred amount of the humectant is from about 0.1 to about 10, more preferably from about 0.3 to about 5, weight percent of the emulsion. The preferred humectant is GLUCAM® E-20, or methyl glucose propoxylate-20.

The lipophilic phase of the w/o emulsion compositions comprises from about 90 to about 99 weight percent, preferably from about 93 to about 99 weight percent, of the w/o emulsion composition. The lipophilic phase contains a combination of petrolatum, wax, and optionally an oil, such as lanolin oil and C₉₋₁₃ triglycerides, or mixtures thereof.

Petrolatum, as that term is used herein, refers to a purified mixture of semisolid hydrocarbons obtained from petroleum, and is generally of USP grade, and the petrolatum is preferably white petrolatum. The petrolatum can be generally described as a yellowish to light amber or white, semisolid, unctuous mass having a density of from about 0.820-0.865, a melting point of from about 38-54°C, and a refractive index of about 1.460-1.474. The petrolatum is present in an amount from about 25 to about 85 weight percent, preferably from about 60 to about 85 weight percent, of the w/o emulsion. Commercially available petrolatums include Penreco Cream, Penreco Snow, and Penreco Ultima all from Penreco, a division of Penzoil Products Co., and also Fonoline White and Perfecta USP from Witco Corp.

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The wax component of the lipophilic phase of the w/o emulsions is preferably pharmaceutically acceptable for topical and/or mucosal administrations. Examples of suitable waxes include C_{20-80} , preferably C_{40-60} synthetic or natural waxes. Waxes which can be employed in the w/o emulsions are those waxes listed in *Cosmetic, Toiletry and Fragrance Association Cosmetic Ingredient Handbook*, Washington, DC (1988), which is incorporated herein in its entirety and include such compounds as beeswax, ozokerite, bayberry wax, carnauba, hydrogenated jojoba wax, japan wax, montan wax, synthetic waxes, and mixtures thereof. The preferred waxes to be incorporated in the w/o emulsion are beeswax, ozokerite, and mixtures thereof. The wax is present from about 15 to about 40, more preferably from about 20 to about 35, weight percent of the w/o emulsion composition. The wax component can also be presented into the emulsion composition as a blend together with the petrolatum component of the lipophilic phase.

The w/o emulsions of the present invention can also include a pharmaceutically-acceptable natural or synthetic oil as part of the lipophilic phase. The oil is preferably a C_{9-23} triglyceride, C_{7-22} diester of propylene glycol, or a mixture thereof. Commercially available oils which are acceptable for use in the w/o emulsions of the present invention include the Captex series, manufactured by Karlshamns Lipid Specialties, Columbus, Ohio; the Pureco series, manufactured by Karlshamns Lipid Specialties, such as Pureco 110 which is a partially hydrogenated coconut and palm oil mixture with a melting range of 112-115°F.; Softisan series, manufactured by Hüls America, such as the Softisan 100, which is a mixture of hydrogenated coco-glycerides; and the Myglycol series manufactured by Hüls America. The oil component can be present in the w/o emulsions in an amount from 1 to about 50 weight percent, preferably from 1 to about 10 weight percent.

Lanolin, preferably in its oil form, is a preferred component of the lip balm formulation and is present in the

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emulsion in an amount of from about 0.05 to about 10, preferably from about 0.1 to about 5, weight percent. Lanolin is generally known as the purified, fat-like substance from the wool of sheep. Lanolin oil is the liquid fraction of lanolin obtained by physical extraction from whole lanolin, and both of these compositions have emollient properties beneficial to the skin. Commercially available lanolin and derivatives include pharmaceutical lanolin USP, Fluilan Lanolin oil, manufactured by Croda Inc., Edison, NJ, Anhydrous Lanolin USP AAA and Lanogene Lanolin oil manufactured by Amerchol, Edison, NJ.

A surfactant or a mixture of surfactants, the surfactant component, is incorporated into the mixture of the aqueous and lipophilic phases in order to prepare the resulting emulsion. Surfactants which may be employed in the w/o emulsions include both ionic surfactants, i.e., cationic, anionic or zwitterionic, and non-ionic surfactants, or mixtures thereof. The surfactant component is present in the emulsion in an amount of from about 0.1 to about 10, preferably from about 0.2 to about 5, weight percent of the w/o emulsion composition.

Suitable cationic surfactants include, among others, cetyldimethylethylammonium bromide, cetylpyridinium chloride and other salts of these surfactants.

Anionic surfactants include, among others, fatty acids and salts thereof, cholic acids and derivatives thereof, diesters of tartaric acid, phospholipids, monoesters of lactic acid, and sulfanates.

Zwitterionics include such phospholipids as lecithin, phosphatidylethanolamine, and sphingomyelins.

Nonionic surfactants include such surfactants as methyl glucoside derivatives, polyglycerol esters, preferably decaglycerol mono, di/trioleate, triglycerol monooleate, monoglycerides and ethoxylated derivatives thereof. A preferred nonionic surfactant is Glucate SS manufactured by Amerchol in Edison, NJ. Glucate SS is a sesquiester of stearic acid and methyl glucoside.

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The w/o emulsions of the present invention can also be formulated to include both hydrophilic and lipophilic biologically active agents, preferably in solubilized form when admixed with the emulsion composition. The active agent
5 is preferably also therapeutically active when given in an effective dosage. The incorporation of the active agent is advantageous when the w/o emulsion is used as either a lip balm or as a treatment for topical indications such as a cold sore, i.e., mouth sore, composition.

10 The w/o emulsions can also be formulated with minor amounts of flavorants to improve the taste. Examples include fruit flavorants such as cherry, grape, and orange, and other flavorants such as menthol.

The w/o emulsions of this invention can readily be
15 prepared by simply mixing together with mild agitation the selected components in the desired ratios at room temperature or at slightly elevated temperatures. No high-energy mixing is necessary to prepare the microemulsions. The ingredients do not have to be added in any particular order; however, it
20 is preferred to first prepare an aqueous and a lipophilic mixture and then combine these two mixtures to form the w/o emulsions.

In certain circumstances, a lip balm having an increased hardness is desired due to its perceived ease of
25 application. It has been found that the hardness can be increased by first admixing all of the ingredients, without the addition of the water, and this mixture is brought to a temperature of between 60-75°C, preferably about 70°C. The water is then admixed with the other ingredients at that
30 temperature. The composition is poured into appropriate lip balm containers at a temperature of from about 70-80°C, and allowed to cool to about 22°C in the containers.

The resultant hardness of the lip balms, determined using a Precision Universal penetrometer with a 39° angle,
35 14.3 g cone with aluminum tip and plastic collar, is preferably below about 4 mm, more preferably below about 3.7 mm, and even more preferably below about 3.5 mm.

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The invention will now be illustrated by, but is not limited in scope to, the following examples.

Examples

The following formulations of w/o emulsion compositions were, for convenience, prepared without the presence of an active agent. It is understood, however, that either a hydrophilic or lipophilic biologically and/or therapeutically active agent could be incorporated into the w/o emulsion composition. The physical characteristics of the emulsions can be tested including such properties as viscosity, conductance, and refractive indices.

Example 1

A water-in-oil emulsion was prepared by first placing about 34 g of Pureco 110, 3.5 g Glucate SS, 0.5 g Lanolin oil, 2 g GLUCAM® E-20, 260 g white petrolatum, and 111 g of bees wax into a 600 ml beaker. The beaker was covered and the mixture was heated to about 75°C wherein all of the components were in a molten state. The mixture was stirred until a clear homogeneous solution was obtained. To this mixture was added 1.5 g sterile water, 0.4 g cherry flavor and 0.07 g of 0.18% wt. menthol in glycerin with continuous mixing.

The flowable liquid was poured into lip balm tubes and allowed to cool and solidify. The lip balm formulation was found to have long lasting characteristics when placed on the lips and worn over an extended period of time. The lip balm had the following weight composition:

TABLE 1.1

COMPONENT	AMOUNT
White Petrolatum	63.0% wt
Bees Wax	26.9% wt
Pureco 110	8.3% wt
Lanolin oil	0.1% wt
Glucate SS	0.8% wt
Glucam E-20	0.5% wt
Sterile water	0.4% wt
Cherry flavor	0.1% wt
Glycerin	167 ppm
Menthol	0.3 ppm

Example 2

The same procedure as set forth in Example 1 was used, except that the white petrolatum was replaced by using Ultima Petrolatum, which contains ozokerite wax, and the
5 resulting lip balm formulation was found to be long lasting.

Example 3

The same procedure as set forth in Example 2 was used, except that the composition of the w/o emulsion was varied as set forth in the following table.

TABLE 3.1

COMPONENT	WT %		
	A	B	C
Petrolatum	25.0	25.0	33.3
Bees-Wax	25.0	24.6	33.3
Pureco 110	42.0	41.4	27.9
Generol 122 (E-5) ^a	0.3	--	2.0
Lanolin oil	0.6	0.6	0.4
Cremophor EL ^b	2.5	--	1.6
Glucate SS	--	4.2	--
H ₂ O (sterile)	1.9	1.8	1.5

a - Generol 122 (E-5) - ethoxylated (EO=5) soya sterol
(Henkel Corp.)

b - Cremophor El - Polyoxyethylene glycerol Triricinoleate 35
DAC (BASF, Inc.)

What is claimed is:

1. A water-in-oil (w/o) emulsion composition,
comprising:
 - (a) up to about 5 weight percent water;
 - 5 (b) from about 25 to about 85 weight percent
petrolatum;
 - (c) from about 0.1 to about 10 weight percent of a
surfactant component, the surfactant component being present
in an amount sufficient to form a w/o emulsion; and
 - 10 (d) from about 15 to about 40 weight percent of a
wax.
2. The composition of claim 1 wherein the petrolatum
comprises from about 60 to about 85 weight percent of the
composition.
- 15 3. The composition of claim 2 wherein the emulsion
is a water-in-oil microemulsion.
4. The composition of claim 2 further comprising
from about 0.05 to about 10 weight percent lanolin oil.
5. The composition of claim 2 further comprising
20 from about 1 to about 50 weight percent of a C₁₀₋₂₀
triglyceride oil.
6. The composition of claim 2 wherein the wax
comprises from about 20-35 weight percent of the composition.
7. The composition of claim 6 wherein the oil
25 comprises from about 1 to about 10 weight percent of the
composition.
8. The composition of claim 2 further comprising
from about 0.1 to about 10 weight percent of a humectant.

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9. The composition of claim 8 wherein the humectant comprises methyl glucose propoxylate-20.

10. The composition of claim 2 further comprising a biologically active agent.

5 11. A water-in-oil (w/o) emulsion composition, comprising:

(a) up to about 5 weight percent water;

(b) from about 60 to about 85 weight percent petrolatum;

10 (c) from about 0.1 to about 10 weight percent of a surfactant component, the surfactant component being present in an amount sufficient to form a w/o emulsion;

(d) from about 15 to about 40 weight percent of a wax; and

15 (e) from about 0.1 to about 10 weight percent of an oil.

12. The composition of claim 11 wherein the oil comprises lanolin oil.

13. The composition of claim 12 further comprising
20 from about 0.1 to about 10 percent by weight of a humectant.

14. The composition of claim 13 wherein the surfactant component comprises a sesquiester of stearic acid and methyl glucoside.

15. The composition of claim 13 wherein the emulsion
25 is a water-in-oil microemulsion.

16. A method of protecting the human mouth lips, comprising:

(a) providing a water-in-oil (w/o) emulsion composition comprising:

30 (i) up to about 5 weight percent water;

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(ii) from about 25 to about 85 weight percent petrolatum;

(iii) from about 0.1 to about 10 weight percent of a surfactant component, the surfactant component being present in an amount sufficient to form a w/o emulsion; and

(iv) from about 15 to about 40 weight percent of a wax; and

(b) applying the water-in-oil microemulsion composition to a human mouth lip.

10 17. The method of claim 9 wherein the petrolatum comprises from about 60 to about 85 weight percent of the composition.

18. The method of claim 17 wherein the emulsion is a water-in-oil microemulsion.

15 19. The method of claim 17 wherein the water-in-oil emulsion further comprises from about 0.05 to about 10 weight percent of lanolin oil.

20 20. The method of claim 19 wherein the water-in-oil emulsion further comprises a humectant comprising methyl glucose propoxylate-20.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US94/05077

A. CLASSIFICATION OF SUBJECT MATTER IPC(5) : A61K 7/02, 9/107 US CL : 424/401, 64; 514/937, 938, 943 According to International Patent Classification (IPC) or to both national classification and IPC																				
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) U.S. : 424/401, 64; 514/937, 938, 943 Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)																				
C. DOCUMENTS CONSIDERED TO BE RELEVANT																				
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.																		
Y	US, A, 5,108,737 (DUNPHY ET AL) 28 April 1992, see particularly column 4, lines 20-55.	1-20																		
Y	US, A, 4,226,889 (YUHAS) 07 October 1980, see particularly Example 5.	1-13,15-20																		
Y	US, A, 4,880,621 (GROLLIER ET AL) 14 November 1989, see particularly column 5, line 4.	1-8,10-19																		
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